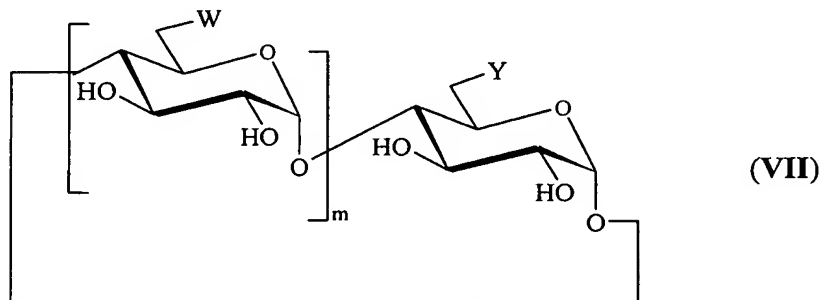


## CLAIMS

1. The use of a method comprising a stage of reaction of a compound selectively or totally halogenated in primary alcohol position, of the following formula (VII):



$m$  representing an integer equal to 5, 6 or 7,

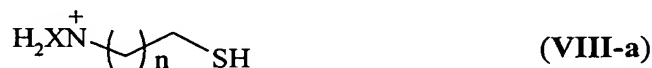
$W$  representing an OH group or a Y group, the  $W$  groups all being identical, and  $Y$  representing a halogen atom chosen from the group constituted by chlorine, bromine, iodine, and preferably being bromine or iodine,

with an  $\omega$ -aminoalkanethiol of the following formula (VIII):



said  $\omega$ -aminoalkanethiol optionally being N-alkylated,

or the corresponding salt of the following formula (VIII-a):



or a tetraalkylammonium salt of the following formula (VIII-b):

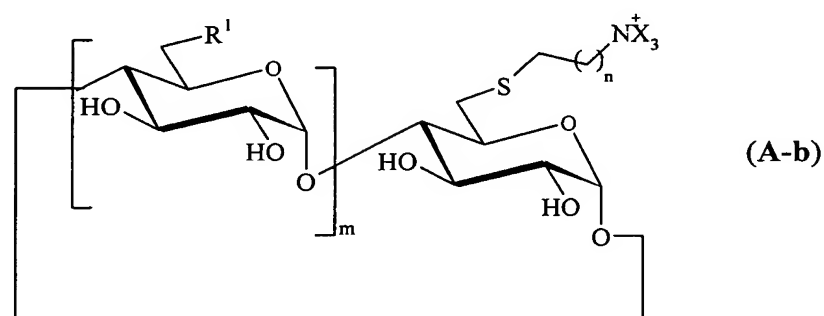
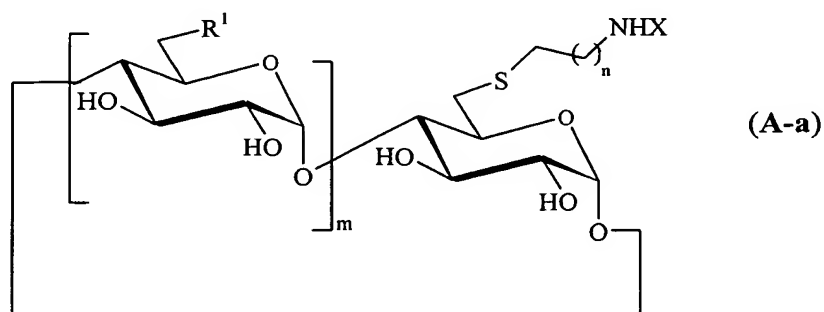


said salt being associated with a halide counter ion, preferably the chloride ion,  $n$  representing an integer from 1 to 6,

X representing a hydrogen atom or an alkyl group comprising from 1 to 6 carbon atoms, and being in particular a methyl, ethyl, propyl or butyl group, X preferably being a hydrogen atom,

the compound of formula (VIII) preferably being cysteamine of formula  $\text{H}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{SH}$ ,

in order to obtain a compound having the following formulae (A-a) or (A-b):

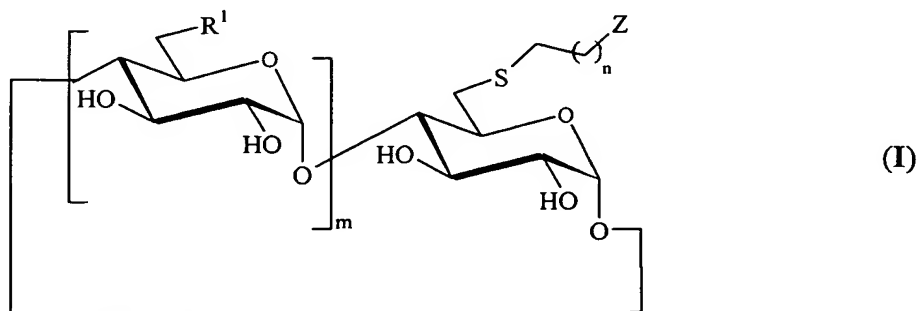


$R_1$  representing either an OH group or an  $-\text{S}-\text{CH}_2-(\text{CH}_2)_n-\text{Z}$  group, the  $R^1$  groups all being identical,

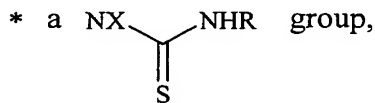
Z representing an  $\text{NHX}$  group or a quaternary ammonium group of the  $\text{N}^+\text{X}_3$  form,

m, n and X being as defined above,

for the preparation of compounds of the following formula (I):



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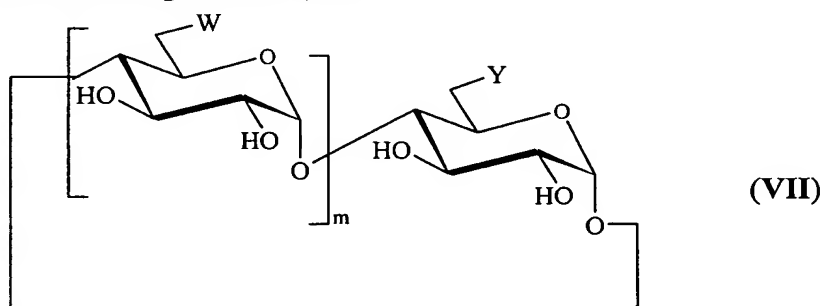
- $$\begin{array}{c} \text{NHR} \\ \diagup \\ \text{C} \\ \parallel \\ \text{S} \end{array} \quad \text{group,}$$

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– the reaction of a compound selectively or totally halogenated in primary alcohol position, of the following formula (VII):



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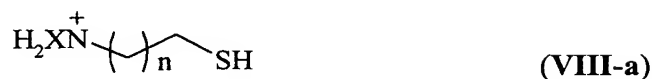
and Y representing a halogen atom chosen from the group constituted by chlorine, bromine, iodine, and preferably being bromine or iodine,

with an  $\omega$ -aminoalkanethiol of the following formula (VIII):



said  $\omega$ -aminoalkanethiol optionally being N-alkylated,

or the corresponding salt of the following formula (VIII-a):



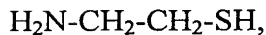
or a tetraalkylammonium salt of the following formula (VIII-b):



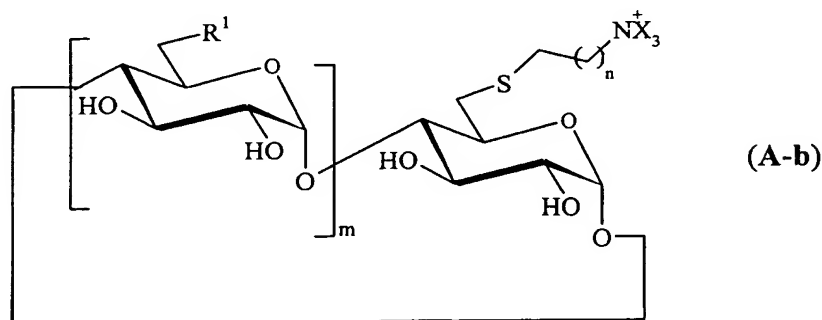
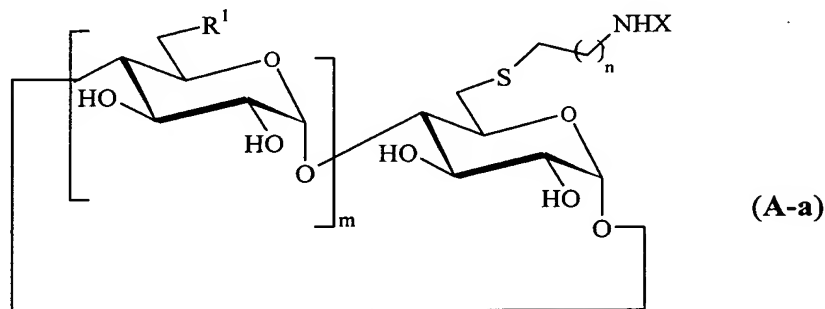
said salt being associated with a halide counter ion, preferably the chloride ion,

n and X being as defined above, and X preferably being a hydrogen atom,

the compound of formula (VIII) preferably being cysteamine of formula



in order to obtain a compound of formula (I) as defined above and having the following formulae (A-a) or (A-b):



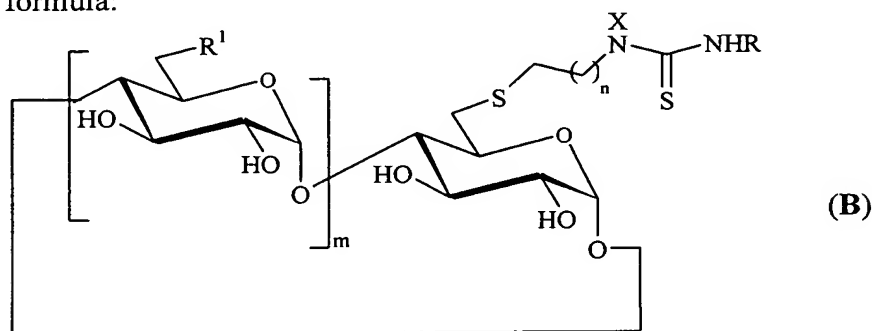
and optionally

– the reaction of the compound of formula (A-a) as obtained in the preceding stage with an isothiocyanate of the following formula (IX):

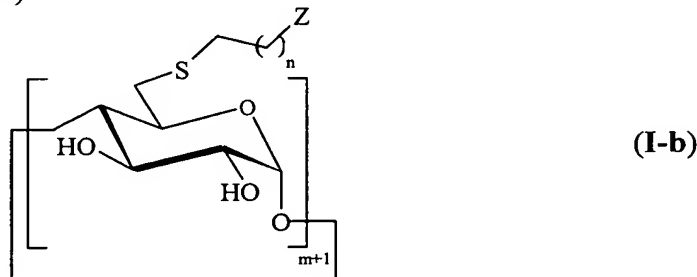


in which R is as defined above,

in order to obtain a compound of formula (I) as defined above, and corresponding to the following formula:

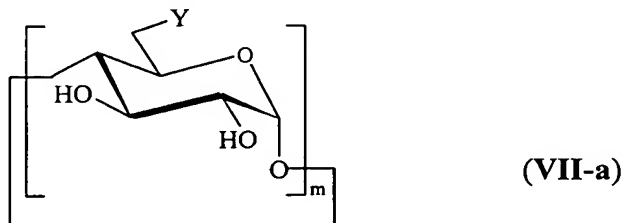


3. The preparation process according to claim 2 of a compound having the following general formula (I-b):



said process being characterized in that it comprises the following stages:

– the reaction of a per(6-deoxy-6-halo) cyclodextrin compound, of the following formula (VII-a):



m and Y being as defined in claim 2,

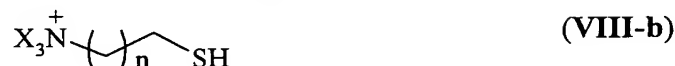
with an ω-aminoalkanethiol of the following formula (VIII):



said  $\omega$ -aminoalkanethiol optionally being N-alkylated,  
or the corresponding salt of the following formula (VIII-a):

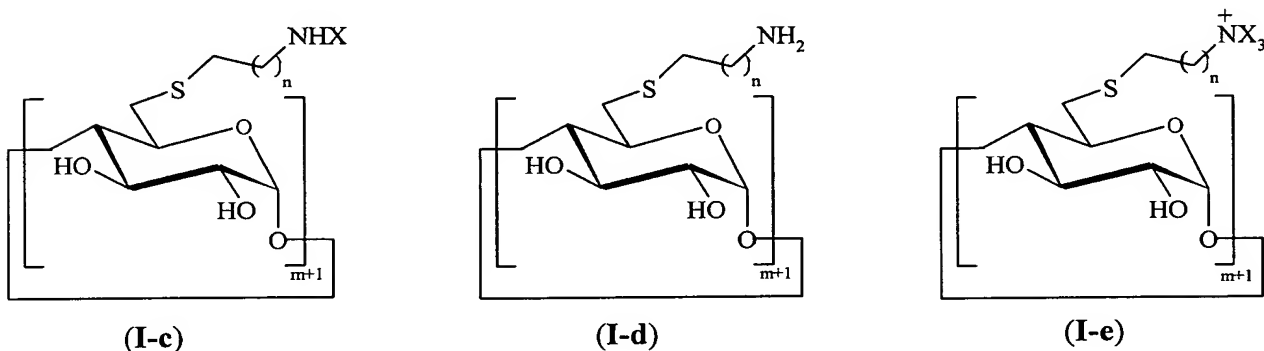


or a tetraalkylammonium salt of the following formula (VIII-b):



said salt being associated with a halide counter ion, preferably the chloride ion,  
n and X being as defined in claim 2, and X preferably being a hydrogen atom,  
the compound of formula (VIII) preferably being cysteamine of formula  
 $\text{H}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{SH}$ ,

in order to obtain a compound of the following formulae (I-c), (I-d) or (I-e)



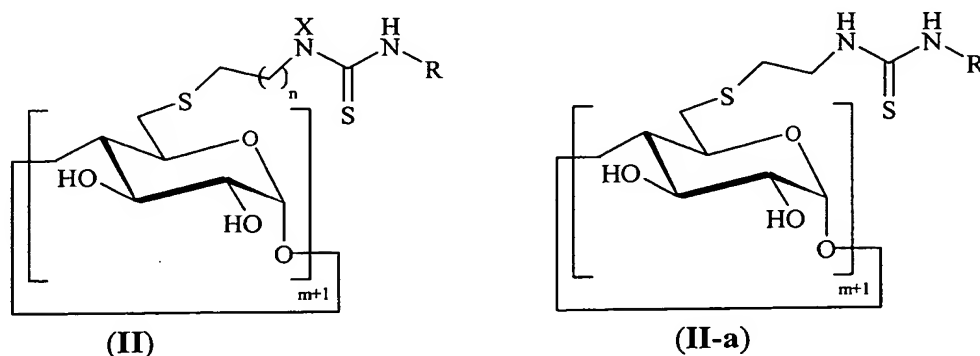
and optionally

– the reaction of the compound of formula (I-c) as obtained in the preceding  
stage with an isothiocyanate of the following formula (IX):

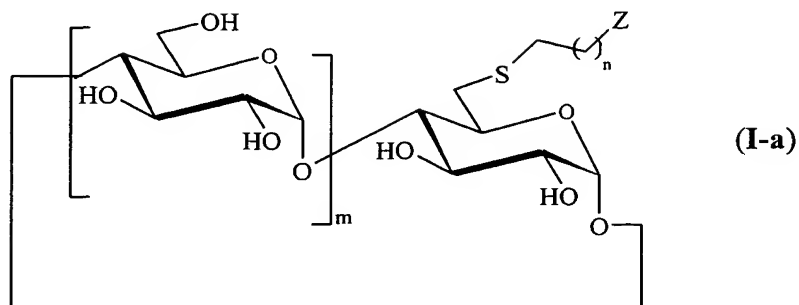


in which R is as defined in claim 2,

in order to obtain a compound of the following formula (II) or (II-a)

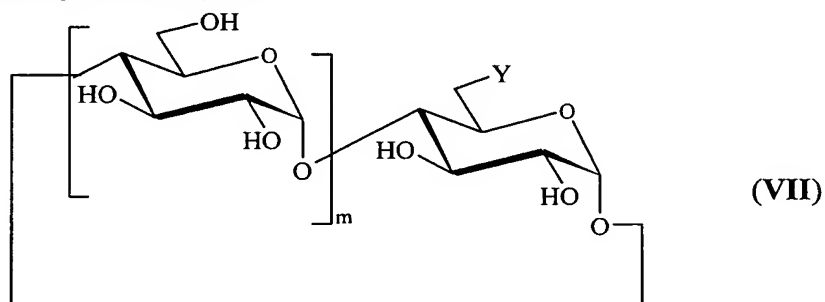


4. The preparation process according to claim 2 of compounds having the following formula:



said process being characterized in that it comprises the following stages:

– the reaction of a compound selectively halogenated in primary alcohol position, of the following formula (VII):



m and Y being as defined in claim 2, and

with an ω-aminoalkanethiol of the following formula (VIII):



said ω-aminoalkanethiol optionally being N-alkylated,

or the corresponding salt of the following formula (VIII-a):



or a tetraalkylammonium salt of the following formula (VIII-b):



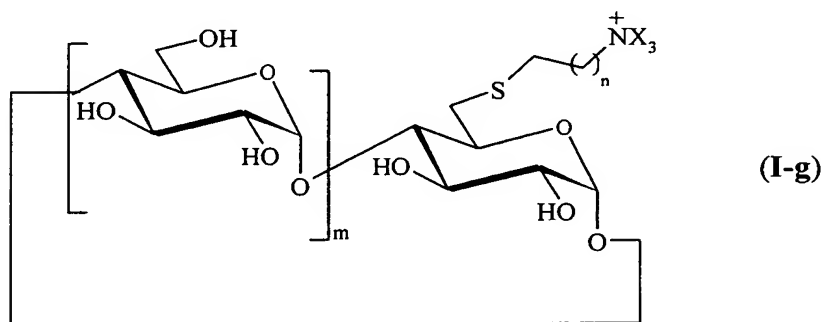
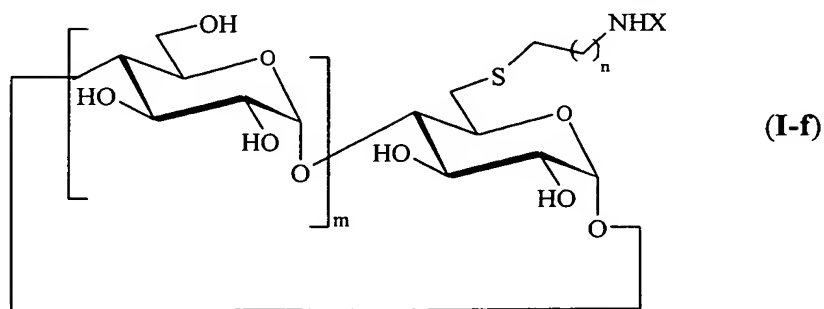
said salt being associated with halide as a counter ion, and preferably being the chloride ion,

n and X being as defined in claim 2, and X preferably being a hydrogen atom,

the compound of formula (VIII) preferably being cysteamine of formula  $\text{H}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{SH}$ ,



in order to obtain a compound of formula (I-f) or (I-g), of the following formula:



in which m, n and X are as defined in claim 2,

and optionally

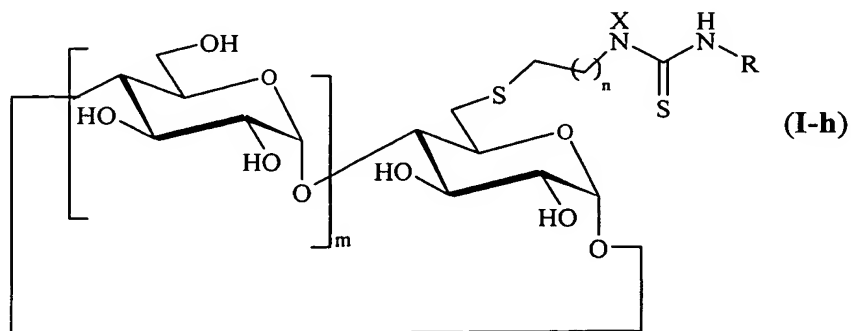
– the reaction of the compound of formula (I-f) as obtained in the preceding stage with an isothiocyanate of the following formula (IX):

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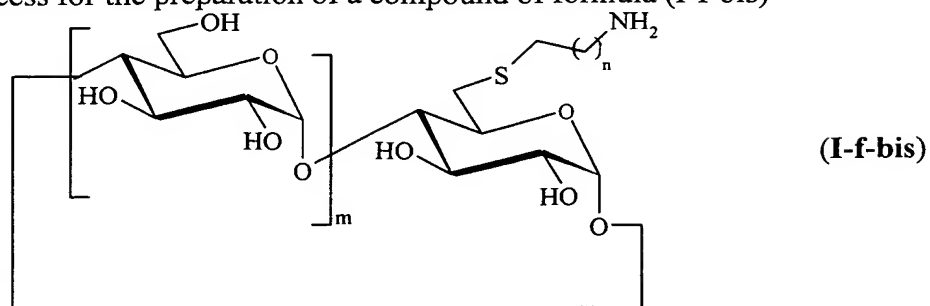


in which R is as defined in claim 2,

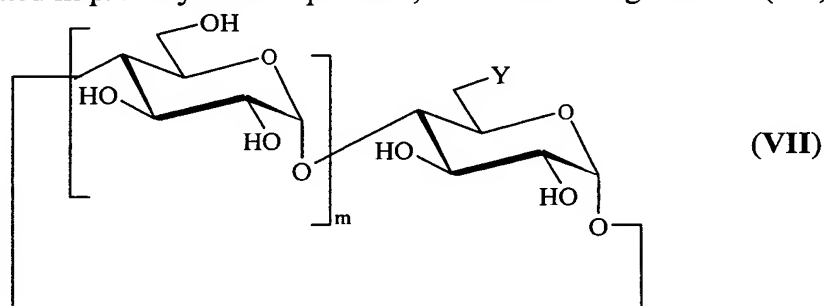
in order to obtain a compound of formula (I-h):



5. A process for the preparation of a compound of formula (I-f-bis)



in which m and n are as defined in claim 2, n preferably being equal to 1,  
said process being characterized in that it comprises the reaction of a compound  
selectively halogenated in primary alcohol position, of the following formula (VII):



m being as defined above, and

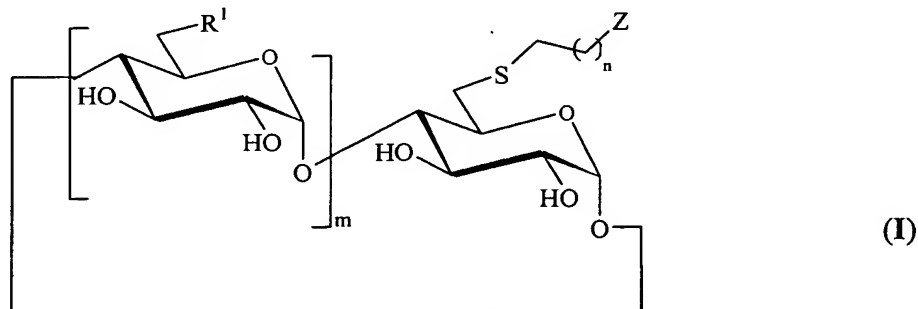
Y representing a halogen atom chosen from the group constituted by chlorine,  
bromine, iodine, and preferably being bromine or iodine,  
with an ω-aminoalkanethiol of the following formula:



n being as defined above,

or preferably with cysteamine of formula  $\text{H}_2\text{N}-\text{CH}_2-\text{CH}_2-\text{SH}$ .

6. A compound of the following general formula:



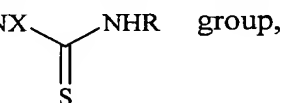
in which:

- n represents an integer from 1 to 6;

– m represents an integer equal to 5, 6 or 7;  
 –  $R^1$  represents either an OH group or an  $-S-CH_2-(CH_2)_n-Z$  group, the  $R^1$  groups all being identical;

– Z represents either:

- \* an NHX group,
- \* a quaternary ammonium group of the  $^+NX_3$  form,
- \* a  $NX-C(=S)NHR$  group,



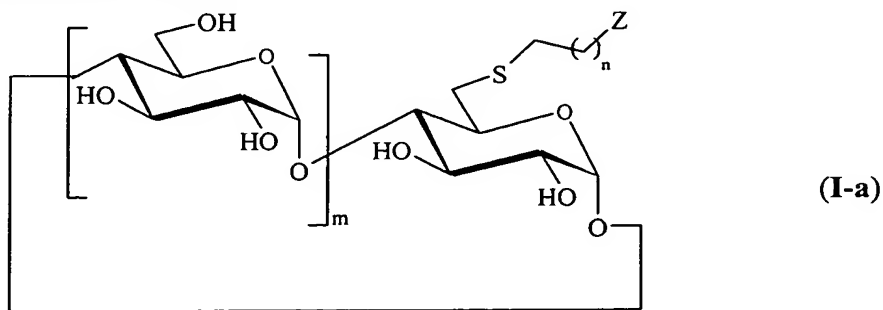
X representing a hydrogen atom or an alkyl group comprising from 1 to 6 carbon atoms, and being in particular a methyl, ethyl, propyl or butyl group, and

R representing a hydrogen atom, a linear or branched alkyl substituent with 1 to 12 carbon atoms, or an aromatic group such as the phenyl, benzyl or naphthyl group, or derivatives of these groups carrying substituents on the aromatic ring such as methyl, ethyl, chlorine, bromine, iodine, nitro, hydroxyl, methoxyl or acetamido substituents,

or R representing a biorecognition element such as an amino acid derivative, a peptide, a monosaccharide, an oligosaccharide, a multiplication element with several branchings comprising glucidic groups which can be identical or different, or a visualization probe or fluorescent or radioactive detection probe,

provided that the compound in which  $n = 1$ ,  $m = 6$ ,  $Z = NH_2$  and  $R_1 = OH$  is excluded.

7. The compound of claim 6, characterized in that  $R^1$  represents OH, and having the following general formula:

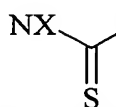


in which:

- m, n and Z are as defined in claim 6.

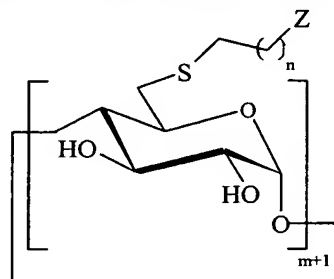
8. The compound of claim 7, having the formula (I-a) and characterized in that Z represents an NHX group, X being as defined in claim 6, and in particular being a hydrogen atom.

9. The compound of claim 7, having the formula (I-a) and characterized in that Z represents a



claim 6, and X being as defined in claim 6, and being in particular a hydrogen atom.

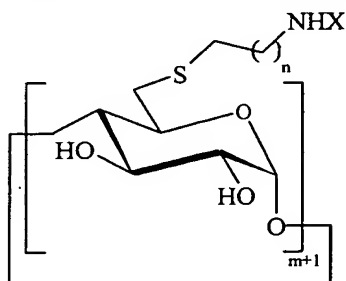
10. The compound of claim 6, characterized in that  $R^1$  represents an  $-S-CH_2-(CH_2)_n-Z$  group, and having the following general formula:



(I-b)

in which m, n and Z are as defined in claim 6.

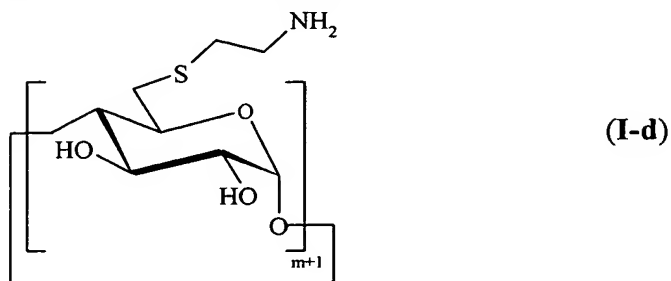
11. The compound of claim 10, having the following formula:



(I-c)

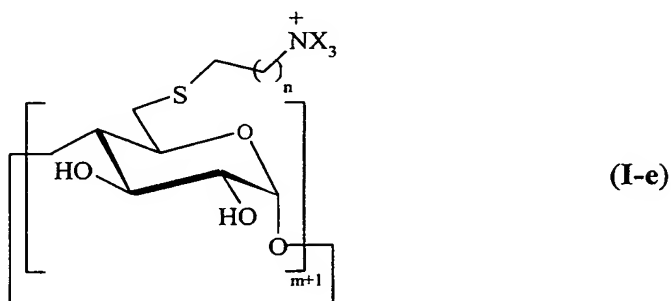
X, n and m being as defined in claim 6.

12. The compound of claim 11, characterized in that X represents a hydrogen atom and in that n is equal to 1, and having the following formula:



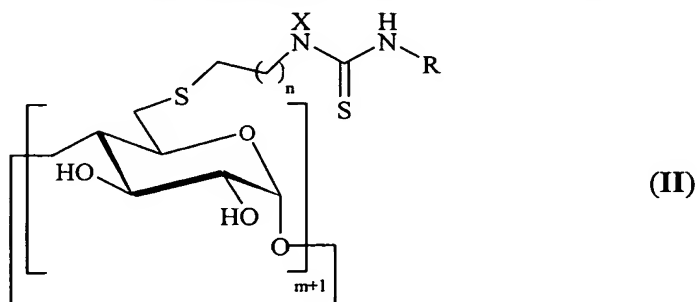
m being as defined in claim 6.

13. The compound of claim 11, corresponding to the following formula:

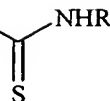


X, n and m being as defined in claim 6.

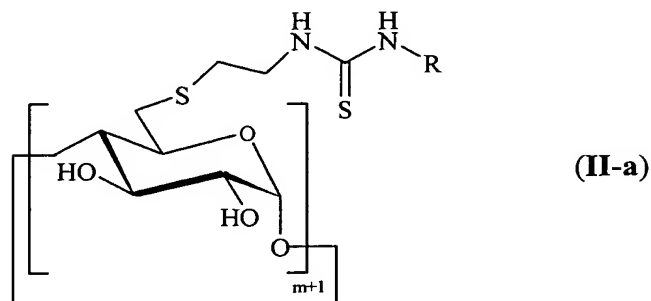
14. The compound of claim 11, corresponding to the following formula:



X, n, m and R being as defined in claim 6, and R being identical for each  $\text{NX}-\text{C}(=\text{S})-\text{NHR}$  group as defined in claim 6.



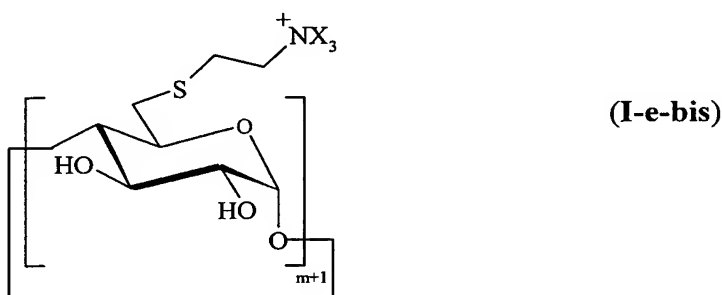
15. The compound of claim 14, characterized in that X represents a hydrogen atom and in that n is equal to 1, and having the following formula:



10 R and m being as defined in claim 6.

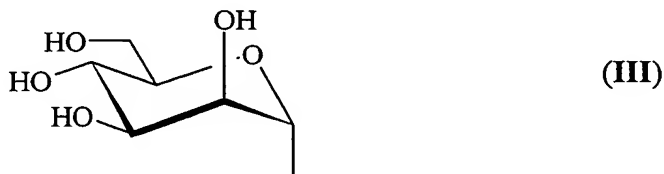
16. The compound according to any one of claims 6 to 15, characterized in that at least one of the NHX groups as defined above is protonated and associated with a monovalent anion chosen in particular from the chloride, bromide or iodide ion.

17. The compound of claim 11, characterized in that n is equal to 1 and in that the Z group represents the quaternary ammonium  $^+NX_3$  group, and in that it can be associated with a monovalent anion chosen in particular from the chloride, bromide or iodide ion, and having the following formula:

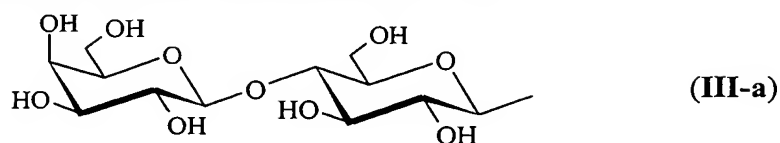


18. The compound according to claim 15, characterized in that the R group is chosen from the following groups:

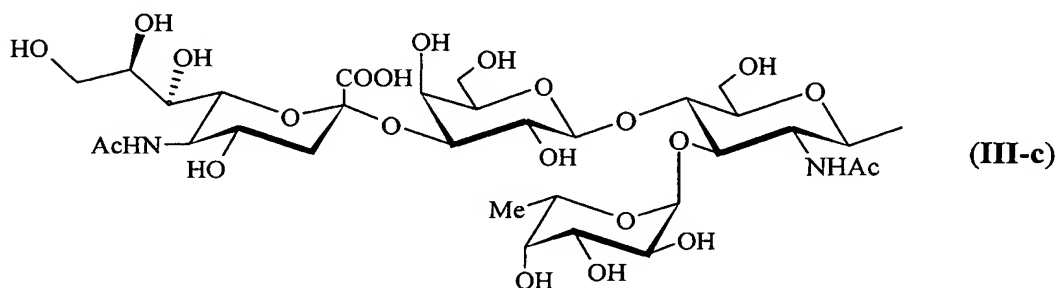
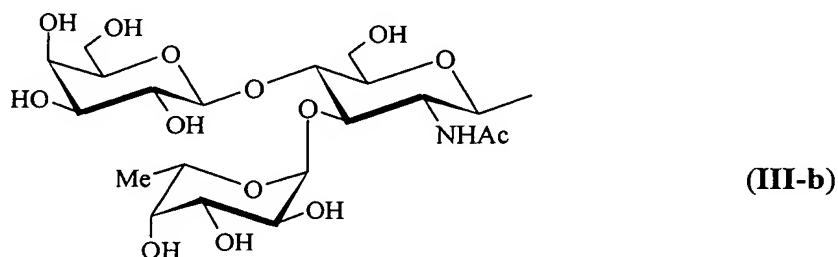
– the  $\alpha$ -D-mannopyranosyl group, of the following formula (III):



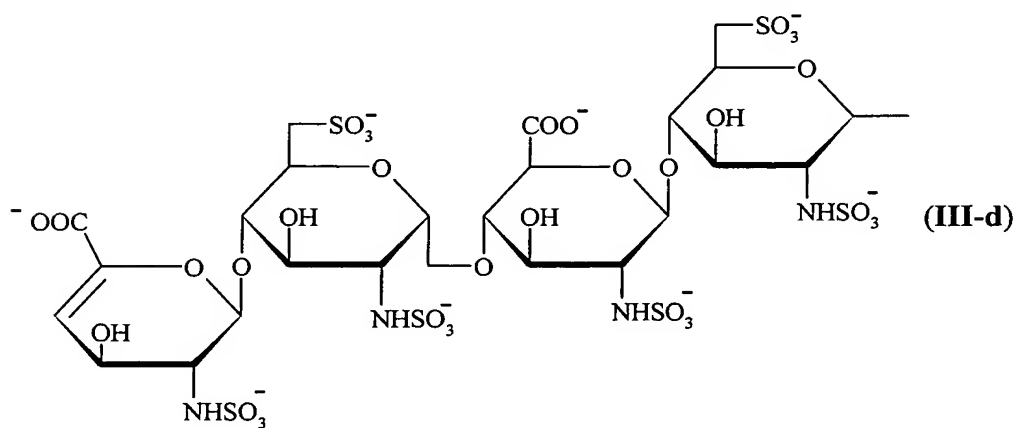
- the  $\beta$ -lactosyl group, of the following formula (III-a):



- the group derived from Lewis X trisaccharide or from sialyl Lewis X tetrasaccharide, of the following formulae (III-b) and (III-c) respectively:



- an oligosaccharide derived from heparin, of the following formula (III-d):

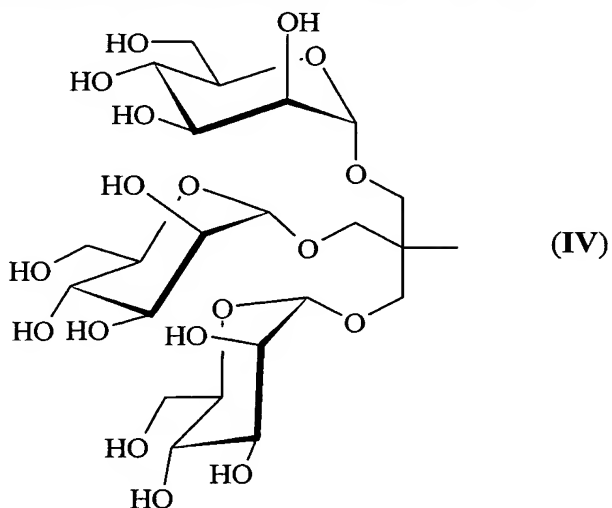


**19.** The compound of claim 15, characterized in that:

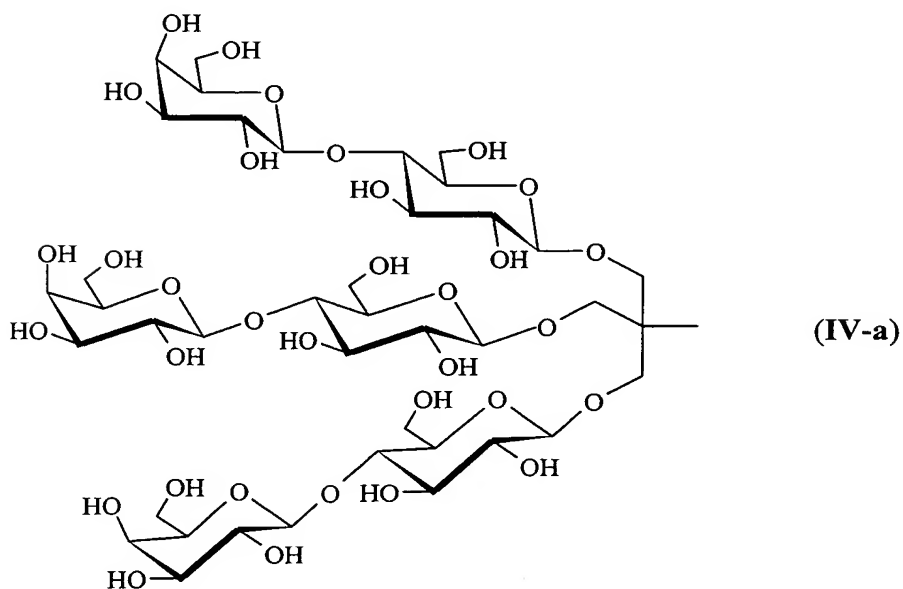
R comprises a branching element derived from tris(2-hydroxymethyl)methylamine, or

R represents one of the following groups:

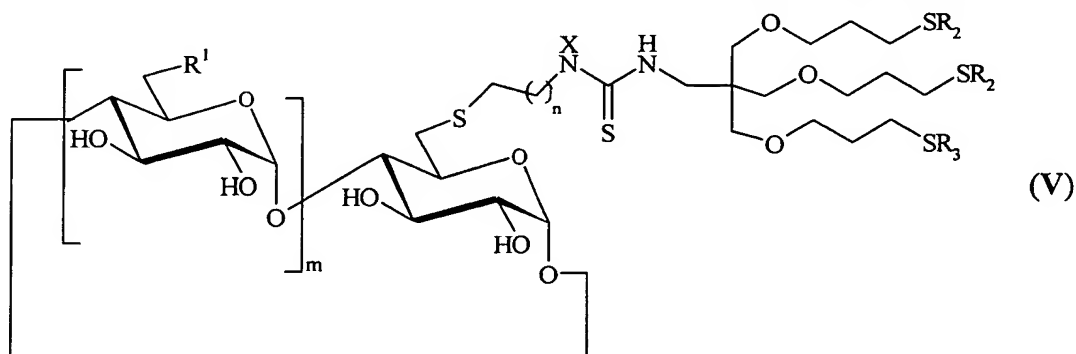
– the tris( $\alpha$ -D-mannopyranosyloxymethyl)methyl group, of the following formula (IV):



– the tris( $\beta$ -lactosyloxymethyl)methyl group, of the following formula (IV-a):



20. The compound of claim 9, characterized in that R comprises a branching element derived from pentaerythritol, said compound having the following formula:

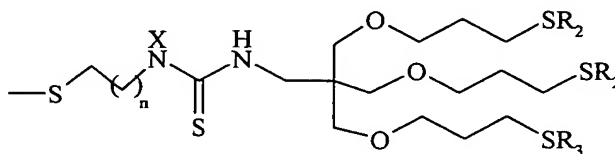




in which  $m$ ,  $n$ ,  $R^1$  and  $X$  are as defined in claim 6, and  
 $R^2$  and  $R^3$  represent glucidic derivatives which can be different or identical or also  
 a fluorescent or radioactive probe.

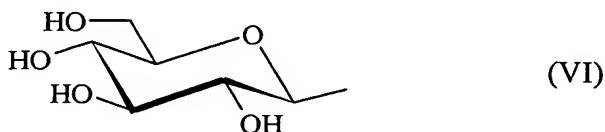
21. The compound of claim 20, characterized in that  $R^1$  represents OH.

22. The compound of claim 20, characterized in that  $R^1$  represents the group of  
 formula:



23. The compound of any one of claims 20 to 22, characterized in that  $n$  is equal  
 to 1, in that  $X$  represents a hydrogen atom and in that  $R^2$  and  $R^3$  represent one of the  
 following groups:

- the  $\alpha$ -D-mannopyranosyl group, of formula (III) as defined in claim 17, or
- the  $\beta$ -lactosyl group, of formula (III-a) as defined in claim 18, or
- the  $\beta$ -D-glucopyranosyl group, of the following formula (VI):



$R^2$  and  $R^3$  being able to be identical or different.

24. The compound according to any one of claims 6 to 23, characterized in that  
 $m$  is equal to 6.

25. An inclusion complex of a compound according to any one of claims 6 to  
 24, with a pharmacologically active molecule, the molar ratio between the compound  
 according to one of claims 6 to 24 and the pharmacologically active molecule  
 advantageously being approximately 50:1 to approximately 1:1.

26. The complex of claim 25, characterized in that the pharmacologically active  
 molecule is an antineoplastic agent, in particular belonging to the taxol family.

27. A pharmaceutical composition comprising a compound according to any one of claims 6 to 24, or an inclusion complex according to claim 25 or 26, with a pharmacologically acceptable vehicle.

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28. The pharmaceutical composition of claim 27, in the form of an aqueous solution.

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29. The pharmaceutical composition of any one of claims 27 or 28, characterized in that it contains per single dose approximately 50 mg to approximately 500 mg of one of the compounds according to any one of claims 6 to 24, or in that it contains per single dose approximately 100 mg to approximately 750 mg of one of the complexes according to one of claims 25 or 26.

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